[wherein: R1 = -(CH2)1-2-S(O)0-2-(C1-6 alkyl) or (un)substituted (cyclo)alkyl, alk(en/yn)yl, (hetero)aryl, etc.; R2 = H, C1-6 alkyl optionally substituted with 1-3 substituents, (CH2)0-4-(hetero)aryl, C2-6 alk(en/yn)yl, etc.; R3 = H, C1-6 alkyl optionally substituted with 1-3 substituents, (CH2)0-4-(hetero)aryl, etc.; R4 = C1-10 alkyl optionally substituted with 1-3 substituteds, -(CH2)0-3-cycloalkyl, -(CR7R8)0-4-(hetero)aryl, etc.; one of R5 and R6 is H and the other is -C(O)(CR9R10)1-6-X-R11, etc.; R7 and R8 are independently selected from H, alkyl, hydroxyalkyl, alk(en/yn)yl, etc.; R9 and R10 are independently selected from H or C1-10 alkyl; R11 = (hetero)aryl, optionally substituted C1-10 alkyl, or C3-8 cycloalkyl, etc.; X = O, S, SO2, etc.]. Compds. I include inhibitors of beta-secretase enzyme useful in the treatment of Alzheimer's disease and other, diseases characterized by deposition of A beta-peptide in a mammal. Biol. examples include beta-secretase inhibition, assays using synthetic oligopeptide-substrates, inhibition of A beta production in human patients, etc. For instance, compound II (preparation 8)

was prepared via amidation of benzoic acid derivative III by diamino(hydroxy)propane derivative IV and subsequent Boc-cleavage (no yield data). Using 19F-NMR an intramol. acyl-migration was observed when compound II was dissolved in DMSO d6 and pH 4 buffer solution was added.

L5 ANSWER 26 OF 78 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:875072 CAPLUS

DOCUMENT NUMBER:

139:381610

TITLE:

Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV

therapeutic compounds

INVENTOR(S):

Birkus, Gabriel; Chen, James M.; Chen, Xiaowu; Cihlar,

Tomas; Eisenberg, Eugene J.; Hatada, Marcos; He,

Gong-Xin; Kim, Choung U.; Lee, William A.; McDermott,

Martin J.; Swaminathan, Sundaramoorthi

PATENT ASSIGNEE(S):

Gilead Sciences, Inc., USA

SOURCE:

PCT Int. Appl., 814 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT		KIN	D 1	DATE		APPLICATION NO.					DATE					
WO 2003090691					A2	- :	20031106		WO 2003-US12943				20030425				
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒŹ,	CA,	CH,	CN
								DM,									
								IS,									
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,
								VN,					•	•	·	•	•
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
								AT,									
								IT,									
								GA,									
CA										CA 2003-2481449					· ·		
ΕP	1575486				A2 20050921			EP 2003-726472					20030425				
		AT,															
								MK,									•
WO	0 2004096818								WO 2003-EP12423				-				
WO	2004096818				А3						-		_				